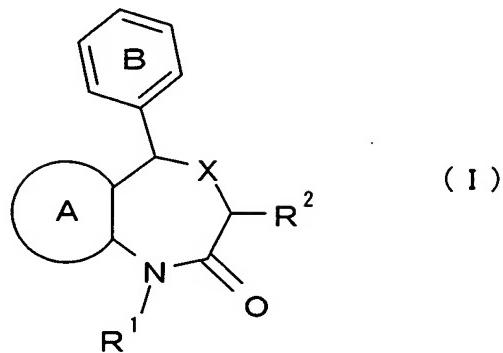


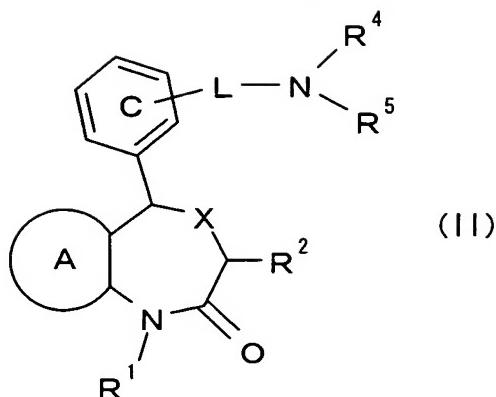
## CLAIMS

1. An agent for modulating the function of an RFRP receptor, which comprises a compound represented by the  
5 formula:



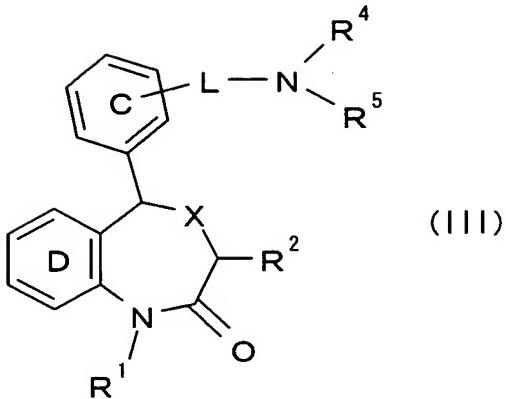
wherein a ring A represents an optionally substituted aromatic ring, a ring B represents an optionally substituted benzene ring, X represents O, S(O)<sub>n</sub> (n represents an integer of 0 to 2) or NR<sup>3</sup> (R<sup>3</sup> represents a hydrogen atom, an optionally substituted hydrocarbon group, or an optionally substituted heterocyclic group), and R<sup>1</sup> and R<sup>2</sup> each represents a hydrogen atom, an optionally substituted hydrocarbon group, or an optionally substituted heterocyclic group, or a salt thereof, or a prodrug thereof.  
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2. The agent according to claim 1, which comprises a compound represented by the formula:



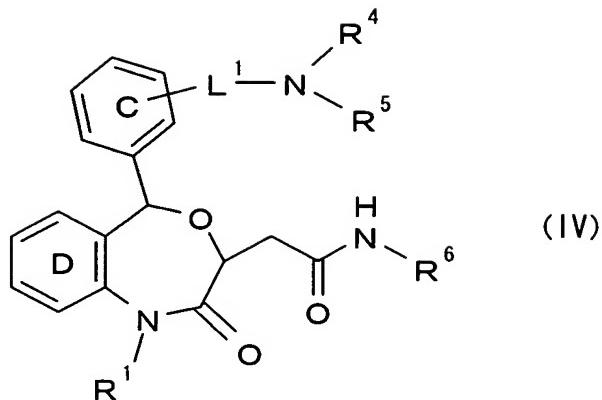
wherein L represents a linker,  $R^4$  and  $R^5$  each represents a hydrogen atom, an optionally substituted hydrocarbon group, an acyl group, an optionally substituted carbamoyl group, 5 an esterified carboxyl group, or an optionally substituted heterocyclic group,  $R^4$  and  $R^5$  may be taken together to form a ring, or a  $R^4$  or  $R^5$  may be taken together with a linker represented by L to form a ring, a ring C represents an optionally further substituted benzene ring, and the 10 other symbols are as defined in claim 1, or a salt thereof, or a prodrug thereof.

3. The agent according to claim 1, which comprises a compound represented by the formula:



wherein a ring D represents an optionally substituted benzene ring, L represents a linker, R<sup>4</sup> and R<sup>5</sup> each represents a hydrogen atom, an optionally substituted hydrocarbon group, an acyl group, an optionally substituted carbamoyl group, an esterified carboxyl group, or an optionally substituted heterocyclic group, R<sup>4</sup> and R<sup>5</sup> may be taken together to form a ring, or R<sup>4</sup> or R<sup>5</sup> may be taken together with a linker represented by L to form a ring, a ring C represents an optionally further substituted benzene ring, and the other symbols are as defined in claim 1, or a salt thereof, or a prodrug thereof.

4. The agent according to claim 1, which comprises a compound represented by the formula:



wherein a ring D represents an optionally substituted benzene ring, L<sup>1</sup> represents a linker represented by optionally substituted -Y-(CH<sub>2</sub>)<sub>m</sub>- (Y represents a bond, -O-, -S(O)<sup>n1</sup>- (<sup>n1</sup> represents an integer of 0 to 2) or -NR<sup>7</sup>- (R<sup>7</sup> represents a hydrogen atom, an optionally substituted hydrocarbon group, or an optionally substituted heterocyclic group), and m represents an integer of 0 to 6), R<sup>4</sup> and R<sup>5</sup> each represents a hydrogen atom, an optionally substituted hydrocarbon group, an acyl group, an optionally substituted carbamoyl group, an esterified carboxyl group or an optionally substituted heterocyclic group, R<sup>4</sup> and R<sup>5</sup> may be taken together to form a ring, or R<sup>4</sup> or R<sup>5</sup> may be taken together with a linker represented by L<sup>1</sup> to form a ring, a ring C represents an optionally further substituted benzene ring, R<sup>6</sup> represents an optionally substituted hydrocarbon group, or an optionally substituted heterocyclic group, and the other symbols are as defined in claim 1, or a salt thereof, or a prodrug thereof.

5. The agent according to claim 1, which is an analgesic, an agent for promoting analgesic activity of another analgesic drug, or an agent for avoiding resistance due to another analgesic drug.

5 6. The agent according to claim 1, which is an agent for modulating the prolactin secretion.

7. The agent according to claim 1, which is an agent for preventing or treating hyperprolactinemia, pituitary gland tumor, diencephalons tumor, emmeniopathy, stress, 10 autoimmune disease, prolactinoma, infertility, impotence, amenorrhea, galactic leakage, acromegaly, Chiari-Frommel syndrome, Argonz-del Castillo syndrome, Forbes-Albright syndrome, breast cancer lymphoma, Sheehan's syndrome or spermatogenesis abnormality.

15 8. The agent according to claim 1, which is an agent for suppressing the pancreatic glucagon secretion, an agent for lowering a blood glucose or an agent for suppressing the urine production.

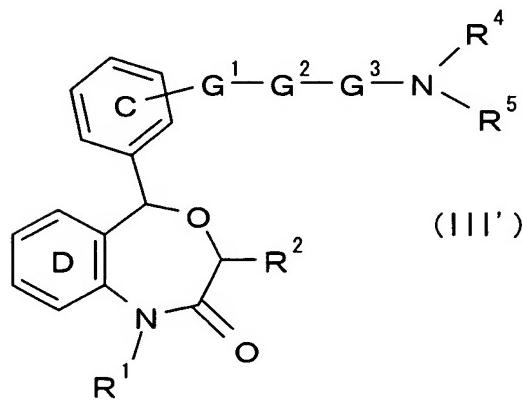
9. The agent according to claim 1, which is an agent 20 for preventing or treating diabetes, glucose tolerance disorder, ketosis, acidosis, diabetic neuropathy, diabetic nephropathy, diabetic retinopathy, pollakiuria, nocturnal enarusic, hyperlipemia, sexual function disorder, skin disease, arthritis, osteopenia, arteriosclerosis, 25 thrombotic disease, maldigestion or memory and learning

disabilities.

10. The agent according to claim 1, which is an agent for suppressing the bladder constriction.

11. The agent according to claim 1, which is an agent 5 for preventing or treating urine incontinence, lower uropathy, urge micturition due to excessive active bladder, or hypotonic bladder accompanied with excessive active bladder.

12. A compound represented by the formula:



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wherein a ring D represents an optionally substituted benzene ring, G<sup>1</sup> represents a bond, or an optionally substituted divalent hydrocarbon group, G<sup>2</sup> represents -O-, -NR<sup>8</sup>- (R<sup>8</sup> represents a hydrogen atom, an optionally substituted hydrocarbon group, or an optionally substituted heterocyclic group) or -S(O)n<sup>2</sup>- (n<sup>2</sup> represents an integer of 0 to 2), G<sup>3</sup> represents an optionally substituted divalent hydrocarbon group, R<sup>4</sup> and R<sup>5</sup> each represents a hydrogen atom, an optionally substituted hydrocarbon group,

an acyl group, an optionally substituted carbamoly group, an esterified carboxyl group, or an optionally substituted heterocyclic group, a ring C represents an optionally further substituted benzene ring, R<sup>1</sup> and R<sup>2</sup> each represents  
5 a hydrogen atom, an optionally substituted hydrocarbon group, or an optionally substituted heterocyclic group, R<sup>4</sup> may be taken together with G<sup>3</sup> or R<sup>5</sup> to form a ring and, when G<sup>2</sup> is -NR<sup>8</sup>-, R<sup>4</sup> and R<sup>8</sup> may be taken together to form a ring, provided that 3,5-trans-N-(2-fluorobenzyl)-5-[3-(3-  
10 tert-butoxycarbonylaminopropyl)aminomethylphenyl]-7-chloro-1-neopentyl-2-oxo-1,2,3,5-tetrahydro-4,1-benzooxazepine-3-acetamide,  
3,5-trans-N-(2-fluorobenzyl)-5-[3-(3-aminopropyl)aminomethylphenyl]-7-chloro-1-neopentyl-2-oxo-1,2,3,5-tetrahydro-4,1-benzooxazepine-3-acetamide,  
3,5-  
15 trans-N-(2-fluorobenzyl)-5-(3-aminoacetylaminomethylphenyl)-1-benzyl-7-chloro-2-oxo-1,2,3,5-tetrahydro-4,1-benzooxazepine-3-acetamide,  
3,5-trans-N-(2-fluorobenzyl)-1-(4-biphenylmethyl)-7-chloro-2-oxo-5-[3-[(piperidin-4-yl)carbonylaminomethyl]phenyl]-  
20 1,2,3,5-tetrahydro-4,1-benzooxazepine-3-acetamide,  
3,5-trans-N-(2-fluorobenzyl)-5-[2-(3-aminopropyloxy)phenyl]-7-chloro-1-isobutyl-2-oxo-1,2,3,5-tetrahydro-4,1-benzooxazepine-3-acetamide,  
3,5-trans-N-(2-fluorobenzyl)-5-[4-(3-aminopropyloxy)-2-methoxyphenyl]-7-chloro-1-  
25 neopentyl-2-oxo-1,2,3,5-tetrahydro-4,1-benzooxazepine-3-

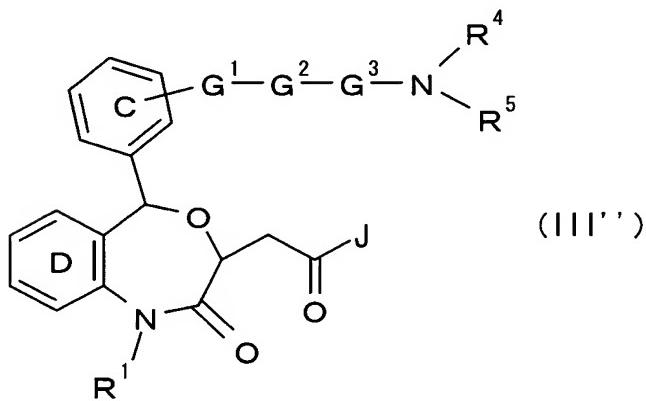
acetamide,

7-chloro-5-[2-[3-[(1,1-

dimethylethoxy)carbonyl]amino]propoxy]phenyl]-1,2,3,5-tetrahydro-1-(2-methylpropyl)-2-oxo-4,1-benzooxazepin-3-ylacetic acid ethyl ester, and 7-chloro-5-[4-[3-[(1,1-dimethylethoxy)carbonyl]amino]propoxy]-2-methoxyphenyl]-1-(2,2-dimethylpropyl)-1,2,3,5-tetrahydro-2-oxo-4,1-benzooxazepin-3-ylacetic acid ethyl ester are excluded, or a salt thereof.

13. The compound according to claim 12, which is

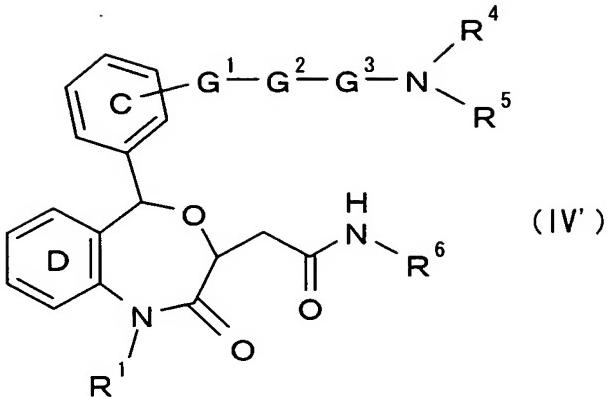
10 represented by the formula:



wherein J represents an optionally substituted hydroxy group, or an optionally substituted amino group, and the other symbols are as defined in claim 12.

15 14. The compound according to claim 12, which is

represented by the formula:



wherein R<sup>6</sup> represents an optionally substituted hydrocarbon group, or an optionally substituted heterocyclic group, and the other symbols are as defined in claim 12.

5           15. The compound according to claim 12, wherein G<sup>1</sup> is  
a bond, or an optionally substituted C<sub>1-3</sub> alkylene group.

16. The compound according to claim 12, wherein G<sup>3</sup> is an optionally substituted C<sub>2-6</sub> alkylene group.

17. The compound according to claim 12, wherein G<sup>1</sup> is  
10 a bond, and G<sup>2</sup> is -O-.

18. The compound according to claim 12, wherein R<sup>1</sup> is an optionally substituted hydrocarbon group.

19. The compound according to claim 12, wherein R<sup>1</sup> is  
an optionally substituted C<sub>1-8</sub> alkyl group, or an optionally  
15 substituted C<sub>7-16</sub> aralkyl group.

20. The compound according to claim 12, wherein R<sup>4</sup> is a hydrogen atom.

21. The compound according to claim 12, wherein R<sup>5</sup> is an optionally substituted C<sub>1-6</sub> alkyl group, or an optionally

substituted C<sub>7-16</sub> aralkyl group.

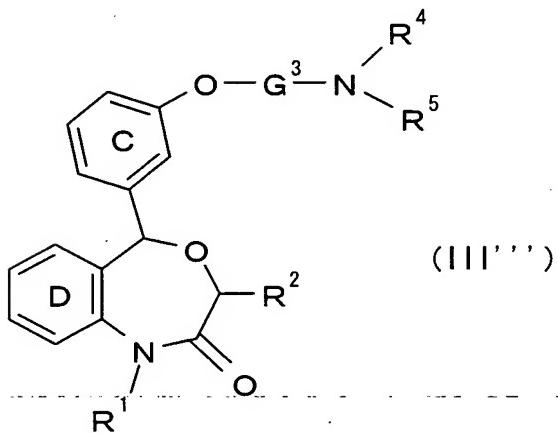
22. The compound according to claim 13, wherein J is a hydroxy group, an optionally substituted lower alkoxy group, an amino group optionally substituted with an optionally substituted alkyl group, or an optionally substituted cyclic amino group.

23. The compound according to claim 13, wherein J is an optionally substituted 5- to 8- membered cyclic amino group.

24. The compound according to claim 14, wherein R<sup>6</sup> is an optionally substituted benzyl group, or an optionally substituted phenyl group.

25. The compound according to claim 12, wherein G<sup>3</sup> is an optionally substituted divalent hydrocarbon group other than a carbonyl group and, when R<sup>4</sup> is a hydrogen atom, R<sup>5</sup> is not a hydrogen atom or a tert-butyloxycarbonyl group.

26. A compound represented by the formula:



wherein a ring D represents an optionally substituted

benzene ring, G<sup>3</sup> represents an optionally substituted  
divalent hydrocarbon group, R<sup>4</sup> and R<sup>5</sup> each represents a  
hydrogen atom, an optionally substituted hydrocarbon group,  
an acyl group, an optionally substituted carbamoyl group,  
5 an esterified carboxyl group, or an optionally substituted  
heterocyclic group, a ring C represents an optionally  
further substituted benzene ring, R<sup>1</sup> and R<sup>2</sup> each represents  
an hydrogen atom, an optionally substituted hydrocarbon  
group, or an optionally substituted heterocyclic group, and  
10 R<sup>4</sup> may be taken together with G<sup>3</sup> or R<sup>5</sup> to form a ring,  
or a salt thereof.

27. 2-(3,5-trans-7-Chloro-1-(2,2-dimethylpropyl)-5-{2-methoxy-3-[3-(3-phenylpropylamino)propoxy]phenyl}-2-oxo-1,2,3,5-tetrahydro-4,1-benzooxazepin-3-yl)-N-(2-fluorobenzyl)acetamide,        2-{3,5-trans-7-chloro-1-(2,2-dimethylpropyl)-5-[2-methoxy-3-(3-pentylamino)propoxy]phenyl}-2-oxo-1,2,3,5-tetrahydro-4,1-benzooxazepin-3-yl)-N-(2-fluorobenzyl)acetamide,        trans-2-{7-chloro-5-[3-(3-{[3-(2-chlorophenyl)propyl]amino}propoxy)-2-methoxyphenyl]-1-(2,2-dimethylpropyl)-2-oxo-1,2,3,5-tetrahydro-4,1-benzooxazepin-3-yl}-N-(2-fluorobenzyl)acetamide,        trans-2-[7-chloro-1-(2,2-dimethylpropyl)-5-[2-methoxy-3-(3-{[(2E)-3-phenyl-2-propenyl]amino}propoxy)phenyl]-2-oxo-1,2,3,5-tetrahydro-4,1-benzooxazepin-3-yl]-N-(2-fluorobenzyl)acetamide,        trans-

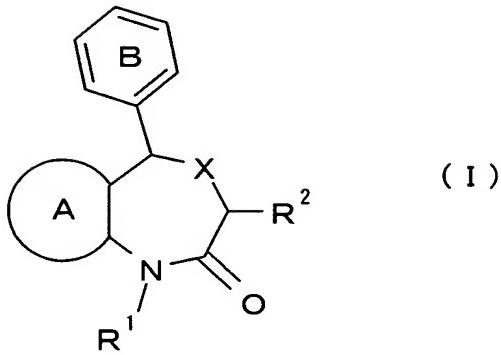
2-[7-chloro-1-(2,2-dimethylpropyl)-5-(2-methoxy-3-{3-[(3-phenylpropyl)amino]propoxy}phenyl)-2-oxo-1,2,3,5-tetrahydro-4,1-benzooxazepin-3-yl]-N-propylacetamide,  
trans-7-chloro-1-(2,2-dimethylpropyl)-5-(2-methoxy-3-{3-[(3-phenylpropyl)amino]propoxy}phenyl)-3-[2-oxo-2-(1-piperazinyl)ethyl]-1,5-dihydro-4,1-benzooxazepine-2(3H)-one,  
trans-7-chloro-1-(2,2-dimethylpropyl)-3-[2-(4-hydroxypiperidin-1-yl)-2-oxoethyl]-5-(2-methoxy-3-{3-[(3-phenylpropyl)amino]propoxy}phenyl)-1,5-dihydro-4,1-benzooxazepine-2(3H)-one or 4-{[3,5-trans-7-chloro-1-(2,2-dimethylpropyl)-5-(2-methoxy-3-{3-[(3-phenylpropyl)amino]propoxy}phenyl)-2-oxo-1,2,3,5-tetrahydro-4,1-benzooxazepin-3-yl]acetyl}piperazine-2-carboxylic acid, or a salt thereof.

15 28. A prodrug of the compound according to claim 12 or 26.

29. A drug comprising the compound according to claim 12 or 26 or a prodrug thereof.

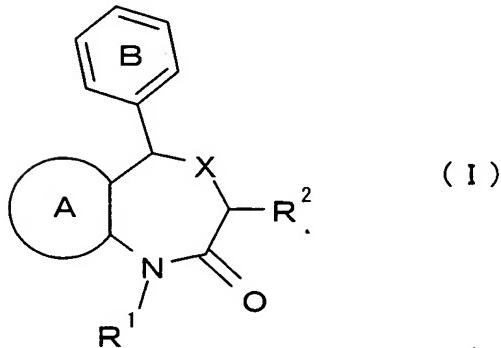
20 30. The drug according to claim 29, which is an agent for preventing or treating RFRP-associated with morbid state or a disease involved in RFRP.

31. A method of modulating the function of an RFRP receptor, which comprises administering an effective amount of a compound represented by the formula:



wherein a ring A represents an optionally substituted aromatic ring, a ring B represents an optionally substituted benzene ring, X represents O, S(O)<sub>n</sub> (n represents an integer of 0 to 2) or NR<sup>3</sup> (R<sup>3</sup> represents a hydrogen atom, an optionally substituted hydrocarbon group, or an optionally substituted heterocyclic group), and R<sup>1</sup> and R<sup>2</sup> each represents a hydrogen atom, an optionally substituted hydrocarbon group, or an optionally substituted heterocyclic ring, or a salt thereof, or a prodrug thereof to a mammal.

32. Use of a compound represented by the formula:



wherein a ring A represents an optionally substituted aromatic ring, a ring B represents an optionally

substituted benzene ring, X represents O, S(O)<sub>n</sub> (n represents an integer of 0 to 2) or NR<sup>3</sup> (R<sup>3</sup> represents a hydrogen atom, an optionally substituted hydrocarbon group, or an optionally substituted heterocyclic group), and R<sup>1</sup> and R<sup>2</sup> each represents a hydrogen atom, an optionally substituted hydrocarbon group, or an optionally substituted heterocyclic group, or a salt thereof, or a prodrug thereof for preparing an agent for modulating the function of an RFRP receptor.